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<p>(21) International Application Number: PCT/SE87/00546 (22) International Filing Date: 20 November 1987 (20.11.87) (31) Priority Application Numbers: 8604998-8 8605551-4 8704049-9 (32) Priority Dates: 21 November 1986 (21.11.86) 23 December 1986 (23.12.86) 16 October 1987 (16.10.87) (33) Priority Country: SE (71) Applicant (for all designated States except US): AKTIEBOLAGET HÄSSLE [SE/SE]; S-431 83 Mölndal (SE). (72) Inventors; and (75) Inventors/Applicants (for US only): ALMINGER, Tomas, Börje [SE/SE]; Hassångared PL 4204, S-437 00 Lindome (SE). BERGMAN, Rolf, Axel [SE/SE]; Ålegårdsgatan 384, S-431 45 Mölndal (SE). BUNDGAARD, Hans [DK/DK]; Tjørnevej 36, DK-2970 Horsholm (DK). LINDBERG, Per, Lennart [SE/SE]; Knapeshall 64, S-436 00 Askim (SE). SUNDÉN, Gunnel, Elisabeth [SE/SE]; Eketrågatan 24A, S-417 12 Göteborg (SE).</p>		<p>(74) Agents: MIKSCHKE, Gerhard et al.; AB Astra, Patent and Trademark Department, S-151 85 Södertälje (SE). (81) Designated States: AT, AT (European patent), AU, BB, BE (European patent), BG, BJ (OAPI patent), BR, CF (OAPI patent), CG (OAPI patent), CH, CH (European patent), CM (OAPI patent), DE, DE (European patent), DK, FI, FR (European patent), GA (OAPI patent), GB, GB (European patent), HU, IT (European patent), JP, KP, KR, LK, LU, LU (European patent), MC, MG, ML (OAPI patent), MR (OAPI patent), MW, NL, NL (European patent), NO, RO, SD, SE, SE (European patent), SN (OAPI patent), SU, TD (OAPI patent), TG (OAPI patent), US. Published With international search report.</p>
<p>(54) Title: NEW BENZIMIDAZOLE DERIVATIVES A PROCESS FOR PRODUCTION THEREOF AND A PHARMACEUTICAL COMPOSITION CONTAINING THE SAME</p> <div style="text-align: center; margin: 20px 0;"> </div> <p>(57) Abstract</p> <p>Novel compounds of formula (I), pharmaceutical compositions containing such compounds as active ingredient, and the use of the compounds in medicine.</p>		

Examples I 21 and I 22

1-chloromethyl-(5-methoxy) and (6-methoxy)-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl) methyl]sulfinyl]-1H-benzimidazole.

1-hydroxy methyl-(5-methoxy)and-(6-methoxy)-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl) methyl]sulfinyl]-1H-benzimidazole (30 g 0.08 mol ratio 1:2) was dissolved in toluene (500 ml) and the solution was cooled to -30°C. A solution of thionyl chloride (19 g 0.16 mol) in toluene (100 ml) was added dropwise at -30°C and the mixture was stirred for 10 minutes at -30°C. Then a solution of triethyl amine (45 g 0.45 mol) in toluene (200 ml) was added dropwise. After the addition the temperature was raised and the mixture stirred at room temperature for 30 minutes. The mixture was evaporated and the residue (120 g) was chromatographed on silica gel (ethylacetate-methylenechloride 50-50) giving the title compound as an isomeric mixture (ratio 1:3) Yield 11.9 g.

NMR (500 MHz, CDCl_3) 2.23, 2.25, 2.26, 3.72, 3.87, 3.92, 4.88, 4.95, 4.96, 6.17, 6.18, 6.54, 6.57, 6.95, 7.01, 7.19, 7.26, 7.43, 7.67, 8.17.

If desired the pure 1-chloromethyl 6-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole was obtained when the isomeric mixture was crystallized in acetonitrile.

NMR (500 MHz, CDCl_3) 2.23, 2.25, 3.72, 3.92, 4.88, 4.96, 6.17, 6.57, 6.95, 7.01, 7.67, 8.17.

Preparation of Phosphoric acid, cyanoethyl-6-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole-1-yl]] methyl diester, triethylammonium salt (Method B)

1-Chloromethyl-6-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole (0.90 g, 0.0023 mol) was added under stirring to a solution of mono-triethylammonium salt of phosphoric acid cyanoethyl ester (0.70 g, 0.0028 mol) and triethyl amine (0.65 g, 0.0064 mol)